

(19) World Intellectual Property  
Organization  
International Bureau



(43) International Publication Date  
1 September 2005 (01.09.2005)

PCT

(10) International Publication Number  
**WO 2005/080298 A1**

(51) International Patent Classification<sup>7</sup>: **C07B 39/00**,  
53/00, C07C 45/63, C07F 7/18

(74) Agents: **RASMUSSEN, Preben** et al.; Internationalt  
Patent-Bureau A/S, Høje Taastrup Boulevard 23, DK-2630  
Taastrup (DK).

(21) International Application Number:  
PCT/DK2005/000094

(81) Designated States (*unless otherwise indicated, for every  
kind of national protection available*): AE, AG, AL, AM,  
AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN,  
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI,  
GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE,  
KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD,  
MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG,  
PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM,  
TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM,  
ZW.

(22) International Filing Date: 11 February 2005 (11.02.2005)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:  
PA 2004 00252 19 February 2004 (19.02.2004) DK  
PA 2004 00857 1 June 2004 (01.06.2004) DK  
PA 2004 01960 20 December 2004 (20.12.2004) DK  
PA 2005 00047 11 January 2005 (11.01.2005) DK

(84) Designated States (*unless otherwise indicated, for every  
kind of regional protection available*): ARIPO (BW, GH,  
GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM,  
ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM),  
European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI,  
FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO,  
SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN,  
GQ, GW, ML, MR, NE, SN, TD, TG).

(71) Applicants and

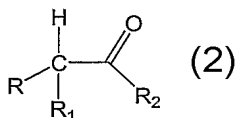
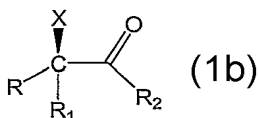
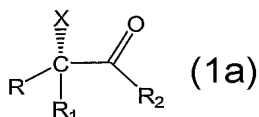
(72) Inventors: **HALLAND, Nis** [DK/DE]; Bonnerstrasse 1,  
D-65812 Bad Soden (DE). **JØRGENSEN, Karl Anker**  
[DK/DK]; Geysergade 6, DK-8200 Aarhus N (DK).  
**MARIGO, Mauro** [IT/DK]; Staunsvej 95, DK-8381 Tilst  
(DK). **BRAUNTON, Alan** [GB/GB]; 18 Abbeydale Close,  
Harlow, Essex CM17 9QE (GB). **BACHMANN, Stephan**  
[CH/CH]; In den Dürrenmatten, 1, CH-4123 Allschwil  
(CH). **FIELENBACH, Doris** [DE/DK]; Jens Chr. Skous  
Vej 24, DK-8000 Aarhus C (DK).

Published:

— with international search report

For two-letter codes and other abbreviations, refer to the "Guid-  
ance Notes on Codes and Abbreviations" appearing at the begin-  
ning of each regular issue of the PCT Gazette.

(54) Title: CATALYTIC ASYMMETRIC SYNTHESIS OF OPTICALLY ACTIVE  $\alpha$ -HALO-CARBONYL COMPOUNDS



(57) Abstract: A process for the catalytic asymmetric synthesis of an optically active compound of the formula (1a) or (1b): wherein R is an organic group; X is halogen; R<sub>1</sub> and R<sub>2</sub> which may be the same or different represents H, or an organic group or R<sub>1</sub> and R<sub>2</sub> may be bridged together forming part of a ring system; R and R<sub>2</sub> may be bridged together forming part of a ring system; with the proviso that R and R<sub>1</sub> are different and R<sub>2</sub>, when different from H, is attached through a carbon-carbon bond, comprising the step of reacting a compound of the formula (2): with a halogenation agent in the presence of a catalytic amount of a chiral nitrogen containing organic compound.

WO 2005/080298 A1